

Claims

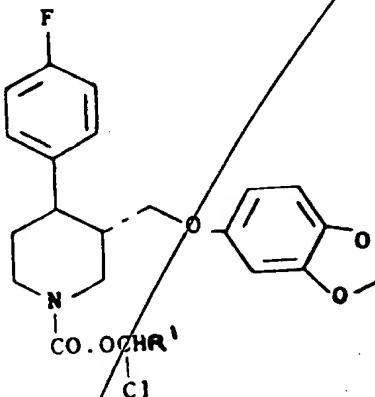
1. Crystalline paroxetine hydrochloride hemihydrate.
2. Crystalline paroxetine hydrochloride hemihydrate in substantially pure form.
3. Crystalline paroxetine hydrochloride hemihydrate, having substantially the same X-ray diffractogram as set out in Figure 1, substantially the same IR spectrum, in a Nujol mull, as set out in Figure 2, and substantially the same DSC profile as set out in Figure 3.

4.5 An anti-depressant
A pharmaceutical composition comprising crystalline paroxetine hydrochloride hemihydrate and a pharmaceutically acceptable carrier.

5. A process for the preparation of crystalline paroxetine hydrochloride hemihydrate, which process comprises forming a solution of paroxetine hydrochloride and precipitating the crystalline form from solution.

6. A process according to claim 5, wherein a solution of paroxetine free base or a salt thereof other than the hydrochloride is contacted with hydrogen chloride.

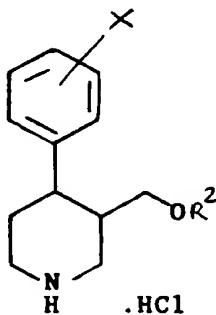
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15 7. A process according to claim 5, which process
comprises deacylating a compound of formula IIa



IIa

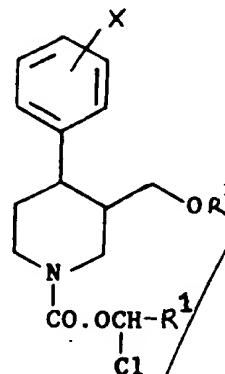
wherein R¹ is a C₁-6 alkyl group.

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8. A process for the preparation of a compound of formula I



I

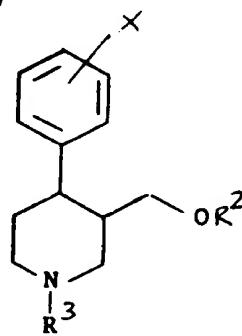
in which R² represents an alkyl or alkynyl group having 1-4 carbon atoms, or a phenyl group optionally substituted by C₁-4 alkyl, C₁-6 alkylthio, C₁-6 alkoxy, halogen, nitro, acylamino, methylsulfonyl or methylenedioxy, or represents tetrahydronaphthyl, and X represents hydrogen, alkyl having 1-4 carbon atoms, C₁-6 alkoxy, C₁-6 trifluoroalkyl, hydroxy, halogen, methylthio, or aryl(C₁-6)-alkyloxy, by de-acylating a compound of formula II.



II

14 in which R¹ is a C₁₋₆ alkyl group and X and R² are defined
15 as defined for formula I

16 9. A process according to claim 8, wherein the
17 compound of formula II is obtained by reacting a
18 compound of formula III



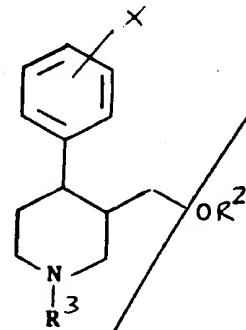
III

31 wherein X and R² are as defined in claim 8 and R³ is a C₁₋₆
32 alkyl group, with α -choro-ethyl chloroformate or a
33 homologue thereof in the presence of a solvent.

34 10. A process according to claim 8, wherein the
35 compound of formula II is obtained by (i) reacting a
36 compound of formula III

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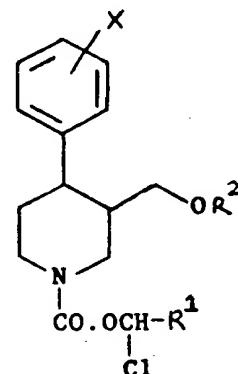
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III

wherein X and R² are as defined in claim 8 and R³ is a C₁-6 alkyl group, with vinyl chloroformate or a homologue thereof in the presence of a solvent and (ii) treating the product obtained with hydrogen chloride.

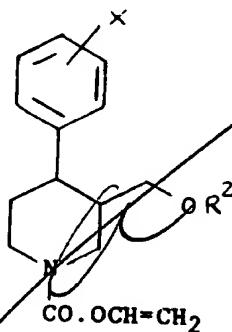
11. A compound of formula II



II

wherein X, R¹ and R² are as defined in claim 8.

12. A compound of formula IV



12 IV
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14 wherein X and R² are as defined in claim 8.
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16 a 15. A method of treatment of depression, which
17 method comprises administering an effective amount of
18 crystalline paroxetine hydrochloride hemihydrate.
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in mammals

end

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